

=> d his 130

(FILE 'HCAPLUS' ENTERED AT 11:54:36 ON 30 DEC 2008)

L30 1 S L27-L29

=> d que 130

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L23      126 SEA FILE=HCAPLUS ABB=ON  PLU=ON  "SHIMOMURA KYOICHI"/AU
L24      52 SEA FILE=HCAPLUS ABB=ON  PLU=ON  "AONO HIROYUKI"/AU
L25      12 SEA FILE=HCAPLUS ABB=ON  PLU=ON  "TSUKAHARA YAEKO"/AU
L26      60 SEA FILE=HCAPLUS ABB=ON  PLU=ON  "HATA TAEKO"/AU
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L28      1 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L24 AND ((L25 OR L26))
L29      1 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L25 AND L26
L30      1 SEA FILE=HCAPLUS ABB=ON  PLU=ON  (L27 OR L28 OR L29)

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=> d 130 1 ibib abs hitstr

L30 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2005:29228 HCAPLUS Full-text
 DOCUMENT NUMBER: 142:107431
 TITLE: Pain threshold fall inhibitor
 INVENTOR(S): Shimomura, Kyoichi; Aono, Hiroyuki
 ; Tsukahara, Yaeko; Hata, Taeko
 PATENT ASSIGNEE(S): Santen Pharmaceutical Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 30 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005002622	A1	20050113	WO 2004-JP9766	20040702
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
JP 2005041866	A	20050217	JP 2004-196146	20040702
EP 1642590	A1	20060405	EP 2004-747234	20040702
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
US 20070117853	A1	20070524	US 2005-562742	20051229
PRIORITY APPLN. INFO.:			JP 2003-270967	A 20030704
			WO 2004-JP9766	W 20040702

OTHER SOURCE(S): MARPAT 142:107431

AB A medical drug capable of inhibiting the fall of pain threshold. In particular, a κ -opioid receptor agonist is capable of effectively inhibiting the fall of pain threshold, so that it is useful as a pain threshold fall inhibitor.

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS

10/562742

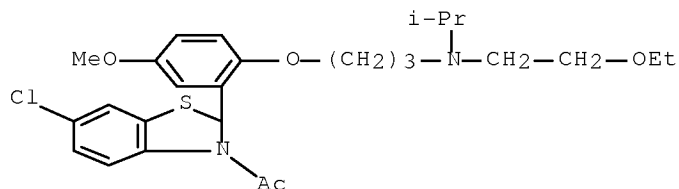
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/562742

***** QUERY RESULTS *****

=> d ide 118

L18 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2008 ACS on STN
RN 610309-27-8 REGISTRY
ED Entered STN: 29 Oct 2003
CN Ethanone, 1-[6-chloro-2-[2-[3-[(2-ethoxyethyl)(1-methylethyl)amino]propoxy]-5-methoxyphenyl]-3(2H)-benzothiazolyl]- (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Benzothiazole, 3-acetyl-6-chloro-2-[2-[3-[(2-ethoxyethyl)(1-methylethyl)amino]propoxy]-5-methoxyphenyl]-2,3-dihydro- (9CI)
MF C26 H35 Cl N2 O4 S
CI COM
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> d ide 117

L17 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2008 ACS on STN
RN 823204-39-3 REGISTRY
ED Entered STN: 31 Jan 2005
CN Butanedioic acid, 2,3-bis(acetyloxy)-, (2R,3R)-, compd. with 1-[6-chloro-2-[2-[3-[(2-ethoxyethyl)(1-methylethyl)amino]propoxy]-5-methoxyphenyl]-3(2H)-benzothiazolyl]ethanone (1:2) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Butanedioic acid, 2,3-bis(acetyloxy)-, (2R,3R)-, compd. with (+)-3-acetyl-6-chloro-2-[2-[3-[(2-ethoxyethyl)(1-methylethyl)amino]propoxy]-5-methoxyphenyl]-2,3-dihydrobenzothiazole (1:2) (9CI)
FS STEREOSEARCH
MF C26 H35 Cl N2 O4 S . 1/2 C8 H10 O8
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

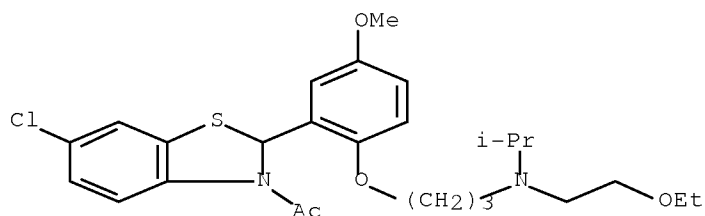
CM 1

CRN 610309-34-7

10/562742

CMF C26 H35 Cl N2 O4 S

Rotation (+).

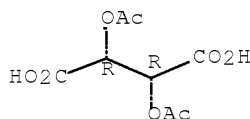


CM 2

CRN 51591-38-9

CMF C8 H10 O8

Absolute stereochemistry. Rotation (-).



1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> d his 121

(FILE 'HCAPLUS' ENTERED AT 11:54:36 ON 30 DEC 2008)

L21 2 S L19 OR L20

=> d que 121

L17	1	SEA	FILE=REGISTRY	ABB=ON	PLU=ON	823204-39-3/RN
L18	1	SEA	FILE=REGISTRY	ABB=ON	PLU=ON	610309-27-8/RN
L19	1	SEA	FILE=HCAPLUS	ABB=ON	PLU=ON	L17
L20	2	SEA	FILE=HCAPLUS	ABB=ON	PLU=ON	L18
L21	2	SEA	FILE=HCAPLUS	ABB=ON	PLU=ON	L19 OR L20

=> d 121 1-2 ibib abs hitstr hitind

L21 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:29228 HCAPLUS Full-text

DOCUMENT NUMBER: 142:107431

TITLE: Pain threshold fall inhibitor

INVENTOR(S): Shimomura, Kyoichi; Aono, Hiroyuki; Tsukahara, Yaeko;
Hata, Taeko

PATENT ASSIGNEE(S): Santen Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 30 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005002622	A1	20050113	WO 2004-JP9766	20040702
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
JP 2005041866	A	20050217	JP 2004-196146	20040702
EP 1642590	A1	20060405	EP 2004-747234	20040702
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
US 20070117853	A1	20070524	US 2005-562742	20051229
PRIORITY APPLN. INFO.:			JP 2003-270967	A 20030704
			WO 2004-JP9766	W 20040702

OTHER SOURCE(S): MARPAT 142:107431

AB A medical drug capable of inhibiting the fall of pain threshold. In particular, a κ -opioid receptor agonist is capable of effectively inhibiting the fall of pain threshold, so that it is useful as a pain threshold fall inhibitor.

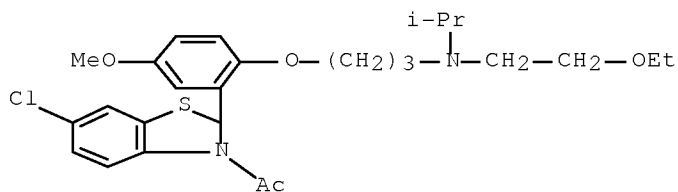
IT ~~610309-27-8~~ 823204-39-3

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(κ -opioid receptor agonists as pain threshold fall inhibitors)

RN 610309-27-8 HCAPLUS

CN Ethanone, 1-[6-chloro-2-[2-[3-[(2-ethoxyethyl)(1-methylethyl)amino]propoxy]-5-methoxyphenyl]-3(2H)-benzothiazolyl]- (CA INDEX NAME)



RN 823204-39-3 HCAPLUS

CN Butanedioic acid, 2,3-bis(acetyloxy)-, (2R,3R)-, compd. with 1-[6-chloro-2-[2-[3-[(2-ethoxyethyl)(1-methylethyl)amino]propoxy]-5-methoxyphenyl]-3(2H)-benzothiazolyl]ethanone (1:2) (CA INDEX NAME)

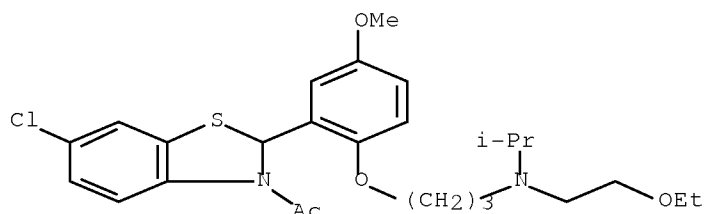
CM 1

CRN 610309-34-7

10/562742

CMF C26 H35 Cl N2 O4 S

Rotation (+).

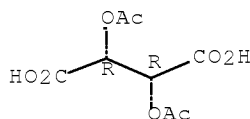


CM 2

CRN 51591-38-9

CMF C8 H10 O8

Absolute stereochemistry. Rotation (-).



IC ICM A61K045-00

ICS A61K031-428; A61P025-00; C07D207-09; C07D277-66

CC 1-11 (Pharmacology)

Section cross-reference(s): 63

IT 83913-06-8 185951-07-9 610308-87-7 610308-92-4 610309-27-8

610309-63-2 823204-37-1 823204-39-3 823204-44-0

823204-46-2 823791-11-3, 2-(3,4-Dichlorophenyl)-N-methyl-N-
[(5R',7S',8S')-7-(1-pyrrolidinyl)-1-oxaspiro[4.5]dec-8-yl]acetamide
methanesulfonate

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)

(κ -opioid receptor agonists as pain threshold fall inhibitors)

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:796678 HCAPLUS Full-text

DOCUMENT NUMBER: 139:312393

TITLE: κ -Opioid receptor agonist comprising
2-phenylbenzothiazoline derivative

INVENTOR(S): Tokai, Maki; Honda, Takahiro; Niwa, Masashi; Osumi,
Yaeko; Fujimura, Ken-ichi; Kohno, Shin-ichi

PATENT ASSIGNEE(S): Santen Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 129 pp.

CODEN: PIXXD2

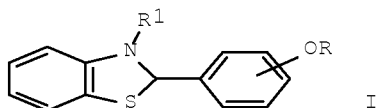
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2003082840	A1	20031009	WO 2003-JP3928	20030328
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2480560	A1	20031009	CA 2003-2480560	20030328
AU 2003220894	A1	20031013	AU 2003-220894	20030328
JP 2004002352	A	20040108	JP 2003-89657	20030328
EP 1496053	A1	20050112	EP 2003-715569	20030328
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1642929	A	20050720	CN 2003-807275	20030328
NZ 535987	A	20060831	NZ 2003-535987	20030328
CN 1911918	A	20070214	CN 2006-10139206	20030328
US 20050113430	A1	20050526	US 2004-509549	20040928
US 7112598	B2	20060926		
US 20060205796	A1	20060914	US 2006-434028	20060515
US 7410987	B2	20080812		
PRIORITY APPLN. INFO.:			JP 2002-97500	A 20020329
			CN 2003-807275	A3 20030328
			WO 2003-JP3928	W 20030328
			US 2004-509549	A1 20040928
OTHER SOURCE(S):	MARPAT 139:312393			
GI				



AB Dislocation closed is a κ -opioid receptor agonist comprising a 2-phenylbenzothiazoline derivative which is either a compound having a basic skeleton having a chemical structure represented by the general formula (I) (wherein R represents amino-substituted alkyl and R1 represents acyl) or a salt of the compound Also disclosed is an analgesic in particular for rheumatism-like diseases or anti-itching agent containing the above κ -opioid receptor agonist as an active ingredient. The presence of an amino-substituted alkyl group bonded to the Ph group of 2-phenylbenzothiazoline and the presence of an acyl group bonded to the nitrogen atom of the 2-phenylbenzothiazoline are important for the impartation of κ -opioid receptor agonistic activity. The compound I also possesses anti-nociception activity. For example, (+)-3-acetyl-6-chloro-2-[2-[3-[N-(2-ethoxyethyl)-N-isopropylamino]propoxy]-5-methoxyphenyl]benzothiazoline hydrochloride at 30 mg/kg p.o. inhibited 100% pain in a mouse acetic acid-writhing assay.

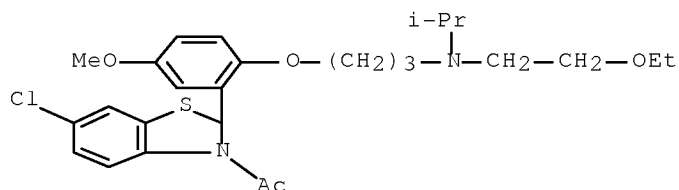
IT 610309-27-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(κ-opioid receptor agonist, analgesic, and anti-itching agent comprising phenylbenzothiazoline derivative)

RN 610309-27-8 HCAPLUS

CN Ethanone, 1-[6-chloro-2-[2-[3-[(2-ethoxyethyl)(1-methylethyl)amino]propoxy]-5-methoxyphenyl]-3(2H)-benzothiazolyl]- (CA INDEX NAME)



IC ICM C07D277-66

ICS C07D417-12; A61K031-428; A61P029-00; A61P043-00; A61P025-04; A61P019-02; A61P019-06

CC 63-5 (Pharmaceuticals)

Section cross-reference(s): 1, 28

IT	610308-00-4P	610308-01-5P	610308-02-6P	610308-03-7P	610308-04-8P
	610308-05-9P	610308-06-0P	610308-07-1P	610308-08-2P	610308-09-3P
	610308-10-6P	610308-11-7P	610308-12-8P	610308-13-9P	610308-14-0P
	610308-15-1P	610308-16-2P	610308-17-3P	610308-18-4P	610308-19-5P
	610308-20-8P	610308-21-9P	610308-22-0P	610308-23-1P	610308-24-2P
	610308-25-3P	610308-26-4P	610308-27-5P	610308-28-6P	610308-29-7P
	610308-30-0P	610308-31-1P	610308-32-2P	610308-33-3P	610308-34-4P
	610308-35-5P	610308-36-6P	610308-38-8P	610308-40-2P	610308-41-3P
	610308-42-4P	610308-43-5P	610308-45-7P	610308-46-8P	610308-47-9P
	610308-49-1P	610308-50-4P	610308-52-6P	610308-55-9P	610308-56-0P
	610308-58-2P	610308-60-6P	610308-62-8P	610308-63-9P	610308-64-0P
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	610309-29-0P	610309-30-3P	610309-31-4P	610309-32-5P	610309-33-6P
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	610309-49-4P				

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(κ-opioid receptor agonist, analgesic, and anti-itching agent comprising phenylbenzothiazoline derivative)

10/562742

REFERENCE COUNT:

33

THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/562742

***** SEARCH HISTORY *****

=> d his nofil

(FILE 'HOME' ENTERED AT 11:25:04 ON 30 DEC 2008)

FILE 'REGISTRY' ENTERED AT 11:25:16 ON 30 DEC 2008

L1 1239 SEA ABB=ON PLU=ON ISOPROPYL? (L) AMINO? (L) PROPOXY?
L2 50007 SEA ABB=ON PLU=ON METHOXY? (L) PHENYL? (L) BENZOTHAZOL?
L3 8 SEA ABB=ON PLU=ON DIACETYL? (L) TARTRAT?
L4 0 SEA ABB=ON PLU=ON L2 (L) L3

FILE 'STNGUIDE' ENTERED AT 11:27:41 ON 30 DEC 2008

FILE 'REGISTRY' ENTERED AT 11:28:25 ON 30 DEC 2008

L5 1 SEA ABB=ON PLU=ON L2 (L) DIACETYL?
D SCAN
L6 1 SEA ABB=ON PLU=ON L1 (L) BENZOTHAZOL?
D SCAN
L7 38484 SEA ABB=ON PLU=ON 2 (L) ETHOXYETHYL?
L8 2 SEA ABB=ON PLU=ON L7 (L) L1
L9 1458 SEA ABB=ON PLU=ON L7 (L) ACETYL?
L10 250 SEA ABB=ON PLU=ON L9 (L) CHLORO?
L11 1 SEA ABB=ON PLU=ON L10 (L) DIACETYL?
D SCAN
L12 50 SEA ABB=ON PLU=ON L10 (L) BENZOTHAZOL?

FILE 'HCAPLUS' ENTERED AT 11:38:42 ON 30 DEC 2008

L13 1 SEA ABB=ON PLU=ON US20070117853/PN
SEL RN

FILE 'REGISTRY' ENTERED AT 11:40:09 ON 30 DEC 2008

L14 11 SEA ABB=ON PLU=ON (185951-07-9/BI OR 610308-87-7/BI OR
610308-92-4/BI OR 610309-27-8/BI OR 610309-63-2/BI OR 823204-37
-1/BI OR 823204-39-3/BI OR 823204-44-0/BI OR 823204-46-2/BI OR
823791-11-3/BI OR 83913-06-8/BI)
L15 2 SEA ABB=ON PLU=ON L12 AND L14
D SCAN
D RN CN 1-2

FILE 'STNGUIDE' ENTERED AT 11:43:45 ON 30 DEC 2008

FILE 'REGISTRY' ENTERED AT 11:48:50 ON 30 DEC 2008

L16 0 SEA ABB=ON PLU=ON L5 AND L14
L17 1 SEA ABB=ON PLU=ON 823204-39-3/RN
D IDE
L18 1 SEA ABB=ON PLU=ON 610309-27-8/RN
D IDE

FILE 'HCAPLUS' ENTERED AT 11:54:36 ON 30 DEC 2008

L19 1 SEA ABB=ON PLU=ON L17
L20 2 SEA ABB=ON PLU=ON L18
L21 2 SEA ABB=ON PLU=ON L19 OR L20
L22 1 SEA ABB=ON PLU=ON L21 AND L13
D SCAN TI
D AU 1-2 L21
E SHIMOMURA KYOICHI/AU

10/562742

L23 126 SEA ABB=ON PLU=ON "SHIMOMURA KYOICHI"/AU
E AONO HIROYUKI/AU
L24 52 SEA ABB=ON PLU=ON "AONO HIROYUKI"/AU
E TSUKAHARA Y?/AU
L25 12 SEA ABB=ON PLU=ON "TSUKAHARA YAEKO"/AU
E HATA TAEKO/AU
L26 60 SEA ABB=ON PLU=ON "HATA TAEKO"/AU
L27 1 SEA ABB=ON PLU=ON L23 AND ((L24 OR L25 OR L26))
L28 1 SEA ABB=ON PLU=ON L24 AND ((L25 OR L26))
L29 1 SEA ABB=ON PLU=ON L25 AND L26
L30 1 SEA ABB=ON PLU=ON (L27 OR L28 OR L29)

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D QUE L30

FILE 'HCAPLUS' ENTERED AT 12:03:27 ON 30 DEC 2008
D L30 1 IBIB ABS HITSTR

FILE 'STNGUIDE' ENTERED AT 12:03:28 ON 30 DEC 2008

FILE 'REGISTRY' ENTERED AT 12:03:50 ON 30 DEC 2008
D IDE L18

FILE 'STNGUIDE' ENTERED AT 12:03:51 ON 30 DEC 2008

FILE 'REGISTRY' ENTERED AT 12:04:35 ON 30 DEC 2008
D IDE L17

FILE 'STNGUIDE' ENTERED AT 12:04:36 ON 30 DEC 2008
D QUE L21

FILE 'HCAPLUS' ENTERED AT 12:04:56 ON 30 DEC 2008
D L21 1-2 IBIB ABS HITSTR HITIND

FILE 'STNGUIDE' ENTERED AT 12:04:57 ON 30 DEC 2008